)6/16/2009

10/590,976A Yong Chu ~06/14/2008

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NEWS 12	FEB 25	IMSPRODUCT reloaded with enhancements
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NEWS 14	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS 15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS 16	MAR 31	CA/CAplus and CASREACT patent number format for U.S. applications updated
NEWS 17	MAR 31	LPCI now available as a replacement to LDPCI
NEWS 18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 19	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS 20	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS 21	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS 22	APR 28	IMSRESEARCH reloaded with enhancements
NEWS 23	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS 24	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS 25	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS 26	JUN 06	KOREAPAT updated with 41,000 documents
NEWS 27	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

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DICTIONARY FILE UPDATES:  12 JUN 2008  HIGHEST RN 1027805-40-8

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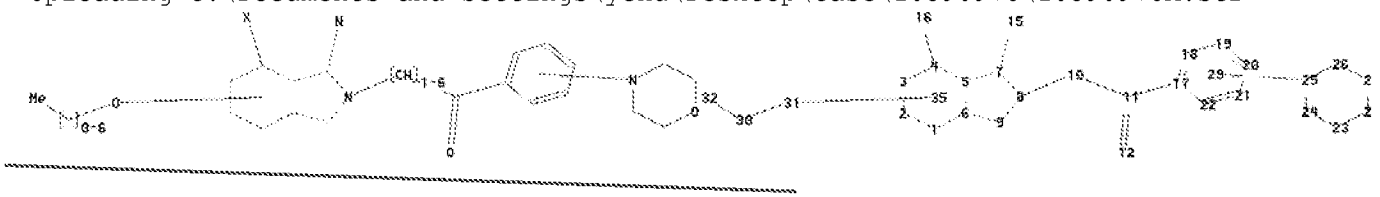

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ring nodes :
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chain bonds :
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4-16  7-15  8-10  10-11  11-12  11-17  30-31  30-32
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-9  7-8  8-9  17-18  17-22  18-19  19-20  20-21
21-22  23-24  23-28  24-25  25-26  26-27  27-28
exact/norm bonds :
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24-25  25-26  26-27  27-28  30-31
exact bonds :
4-16  10-11  11-17  30-32
normalized bonds :
17-18  17-22  18-19  19-20  20-21  21-22


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:CLASS
11:CLASS  12:CLASS  15:CLASS  16:CLASS  17:Atom  18:Atom  19:Atom  20:Atom  21:Atom
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35:Atom



L1      STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SEARCH INITIATED 06:51:43 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        8 TO ITERATE

100.0% PROCESSED        8 ITERATIONS                                 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            8 TO      329
PROJECTED ANSWERS:               1 TO       80

L2            1 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 06:51:57 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      150 TO ITERATE

100.0% PROCESSED      150 ITERATIONS                                23 ANSWERS
SEARCH TIME: 00.00.01

L3           23 SEA SSS FUL L1

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COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
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FULL ESTIMATED COST 178.36 178.57

FILE 'CAPLUS' ENTERED AT 06:52:04 ON 14 JUN 2008
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FILE COVERS 1907 - 14 Jun 2008 VOL 148 ISS 25
FILE LAST UPDATED: 13 Jun 2008 (20080613/ED)

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=> s l3
L4 14 L3

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L4 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:417749 CAPLUS Full-text
DOCUMENT NUMBER: 148:410764
TITLE: Rapidly disintegrating lyophilized oral formulations of a thrombin receptor antagonist for treating acute coronary syndrome
INVENTOR(S): Monteith, David; Veltri, Enrico P.; Duggirala, Srinivas; Falvo, Michael Angelo; Erbey, John R., II; Feng, Kung-i; Pavlovsky, Anastasia; Chawdry, Suliman
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 24pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

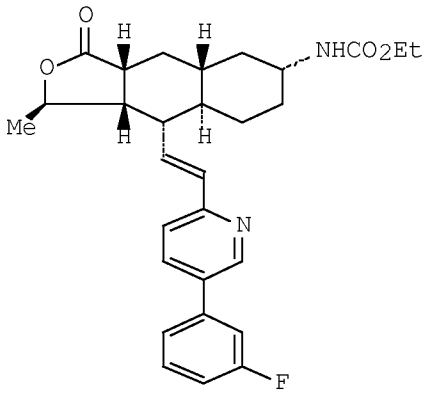
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008039406	A2	20080403	WO 2007-US20569	20070924

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-847306P P 20060926

GI



I

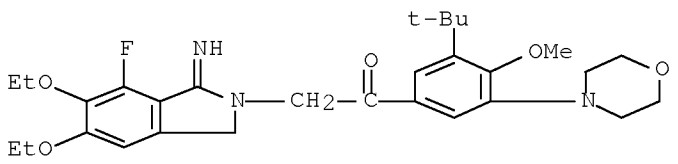
AB Disclosed is a lyophilized rapidly disintegrating solid dosage form, one embodiment of which comprises a thrombin receptor antagonist, such as compd. A (I), or a pharmaceutically acceptable salt or hydrate thereof, a polymer such as gelatin, and a matrix forming agent such as mannitol. Systems for effectively buffering the pre-lyophilized suspension are taught, along with methods of treating patients at risk for acute coronary syndrome by administering such a rapidly disintegrating solid dosage form. Thus, a lyophilized formulation comprised (in wt% prior to lyophilization): compd. A bisulfate 8, gelatin 3.5, mannitol 3, flavor (spearmint or peppermint) 0.5, aspartame 0.5, 10% NaOH 4, purified water q.s. to 100 mL.

IT 751475-53-3

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (rapidly disintegrating lyophilized oral formulations of a thrombin receptor antagonist for treating acute coronary syndrome)

RN 751475-53-3 CAPLUS

CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[3-(1,1-dimethylethyl)-4-methoxy-5-(4-morpholinyl)phenyl]- (CA INDEX NAME)



L4 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:43916 CAPLUS Full-text

DOCUMENT NUMBER: 148:106256

TITLE: Solid dose formulations of a thrombin receptor

antagonist for treatment of vascular disorders

INVENTOR(S): Gupta, Rajan; Sangekar, Surenda
PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 22pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008005352	A2	20080110	WO 2007-US15167	20070629
WO 2008005352	A3	20080410		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20080026050	A1	20080131	US 2007-771571	20070629

PRIORITY APPLN. INFO.: US 2006-817820P P 20060630

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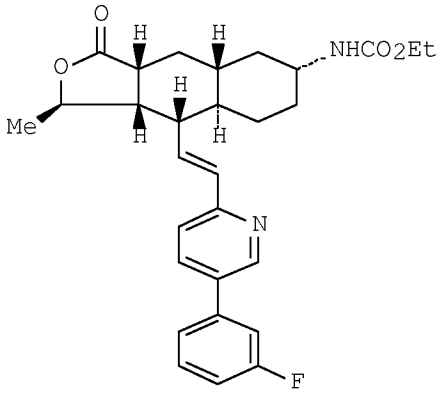


I

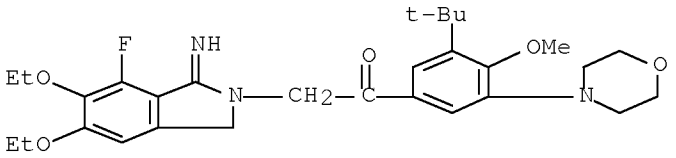
AB Capsule formulations of a thrombin receptor antagonist for oral administration in treatment of vascular disorders are disclosed. In some embodiments, the thrombin receptor antagonist is compd. of formula (I), or a pharmaceutically acceptable isomer, salt, or solvate thereof. The formulations include at least one excipient, such as a diluent, disintegrant and/or lubricant. Also disclosed are methods of treating acute coronary syndrome and peripheral arterial disease, and of effecting secondary prevention, by orally administering such capsule formulations. Thus, a capsule formulation contained

compd. I 0.25 mg, microcryst. cellulose PH101 140.75 mg, crospovidone 7.5, magnesium stearate 1.5 mg.

IT 751475-53-3, E 5555
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(capsules of thrombin receptor antagonist for treatment of vascular disorders)

RN 751475-53-3 CAPLUS

CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[3-(1,1-dimethylethyl)-4-methoxy-5-(4-morpholinyl)phenyl]- (CA INDEX NAME)



L4 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:42838 CAPLUS Full-text

DOCUMENT NUMBER: 148:106251

TITLE: Immediate-release tablet formulations of a thrombin receptor antagonist

INVENTOR(S): Gupta, Rajan; Chawdry, Suliman; Duggirala, Srinivas S.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 34pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008005353	A2	20080110	WO 2007-US15168	20070629
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080031943	A1	20080207	US 2007-771520	20070629

PRIORITY APPLN. INFO.: US 2006-817821P P 20060630

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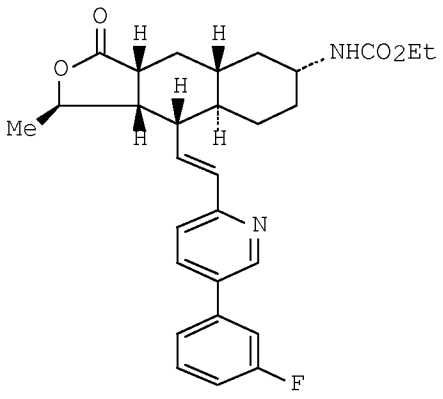


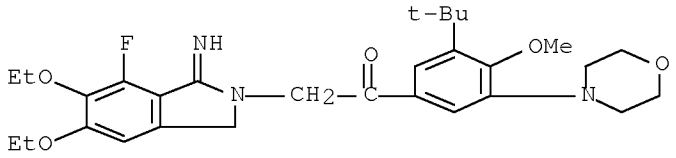
I

AB Immediate-release formulations for oral administration of a thrombin receptor antagonist in treatment of vascular disorders are provided. Certain formulations of higher active pharmaceutical ingredient (API) loading demonstrate sufficient moisture uptake after storage at stressed conditions to retard dissoln. The formulations of the present invention incorporate either lower API loading or elevated disintegrant-to-API ratios, found necessary to achieve disintegration rates required for immediate-release performance. Thus, a tablet formulation contained compd. I bisulfate 40 mg, lactose monohydrate 383 mg, microcryst. cellulose 120 mg, croscarmellose sodium 36 mg, Povidone 18 mg, and magnesium stearate 3 mg.

IT 751475-53-3, E 5555
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(immediate-release tablets of thrombin receptor antagonist for treatment of vascular disorders)

RN 751475-53-3 CAPLUS

CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[3-(1,1-dimethylethyl)-4-methoxy-5-(4-morpholinyl)phenyl]- (CA INDEX NAME)



L4 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1177798 CAPLUS Full-text

DOCUMENT NUMBER: 147:440330

TITLE: Use of combination of thrombin receptor antagonists and cardiovascular agents for the treatment of cardiovascular disorders

INVENTOR(S): Veltri, Enrico P.; Greenlee, William J.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 33pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007117621	A1	20071018	WO 2007-US8612	20070405

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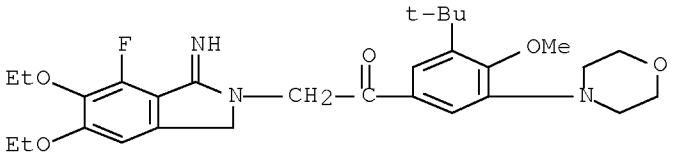
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:		
US 2006-790469P	P	20060406
US 2006-808611P	P	20060526
US 2006-809785P	P	20060531
US 2006-839474P	P	20060823
US 2006-839484P	P	20060823

AB Disclosed herein are pharmaceutical combinations comprising at least one thrombin receptor antagonist and at least one cardiovascular agent. The thrombin receptor antagonists are statins or antiarrhythmic agents and cardiovascular agents suitable for co-formulation or co-administration with the thrombin receptor antagonist include an endothelin antagonist selected from the group consisting of tezosentan, bosentan, and sitaxsentan (no data).

IT 751475-53-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of combination of thrombin receptor antagonists and cardiovascular agents for treatment of cardiovascular disorders)

RN 751475-53-3 CAPLUS
CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[3-(1,1-dimethylethyl)-4-methoxy-5-(4-morpholinyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1150265 CAPLUS Full-text
DOCUMENT NUMBER: 147:433639
TITLE: Composition comprising thrombin receptor antagonist and cardiovascular agent
INVENTOR(S): Veltri, Enrico P.; Greenlee, William J.
PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 13pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

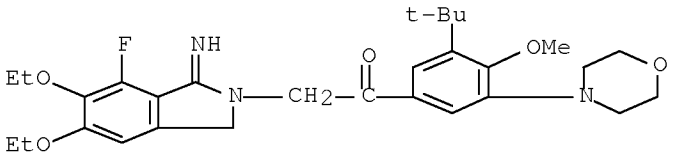
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20070238674	A1	20071011	US 2007-696898		20070405
PRIORITY APPLN. INFO.:			US 2006-790469P	P	20060406
			US 2006-808611P	P	20060526
			US 2006-809785P	P	20060531
			US 2006-839474P	P	20060823
			US 2006-839484P	P	20060823
			US 2007-887236P	P	20070130

AB This invention relates to pharmaceutical combinations comprising at least one thrombin receptor antagonist and at least one cardiovascular agent.

IT 751475-53-3, E 5555
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(E 5555; compn. comprising thrombin receptor antagonist and cardiovascular agent)

RN 751475-53-3 CAPLUS

CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[3-(1,1-dimethylethyl)-4-methoxy-5-(4-morpholinyl)phenyl]- (CA INDEX NAME)



L4 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1028574 CAPLUS Full-text
DOCUMENT NUMBER: 147:336290
TITLE: Method for determination of the effect of thrombin receptor antagonist by determination of inflammatory marker
INVENTOR(S): Kogushi, Motoji; Yokohama, Hiromitsu; Kitamura, Shinichi
PATENT ASSIGNEE(S): Eisai R & D Management Co., Ltd., Japan
SOURCE: PCT Int. Appl., 40pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007102563	A1	20070913	WO 2007-JP54490	20070301
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,				

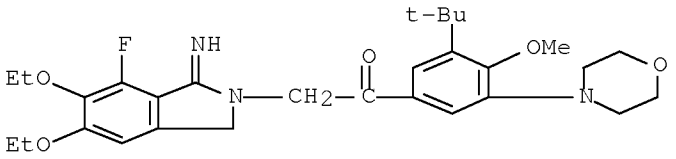
KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2006-56255 A 20060302
OTHER SOURCE(S): MARPAT 147:336290

AB Disclosed is a method for detn. of the inhibitory effect of a thrombin receptor antagonist on the occurrence of a cardiovascular event, based on the results obtained by the detn. of an inflammatory marker in a biol. sample.

IT 751475-53-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method for detn. of the effect of thrombin receptor antagonist by detn. of inflammatory marker)

RN 751475-53-3 CAPLUS
CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[3-(1,1-dimethylethyl)-4-methoxy-5-(4-morpholinyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:730904 CAPLUS Full-text
DOCUMENT NUMBER: 147:125616
TITLE: Thrombin receptor antagonists as prophylaxis to complications from cardiopulmonary surgery
INVENTOR(S): Veltri, Enrico P.; Strony, John T.; Berman, Gail
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 31pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007075964	A2	20070705	WO 2006-US48928	20061220
WO 2007075964	A3	20070920		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,

RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20070202140	A1	20070830	US 2006-613450	20061220
PRIORITY APPLN. INFO.:			US 2005-753246P	P 20051222

GI

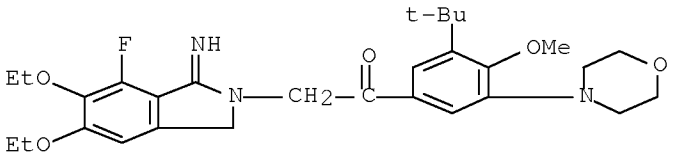
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Methods are provided for preventing, inhibiting, or ameliorating complications assocd. with cardiopulmonary bypass surgery, such as bleeding, thrombotic vascular events, graft failure, atherosclerosis, angina pectoris, myocardial ischemia, etc., by the use of a thrombin receptor antagonist. Examples of such thrombin receptor antagonists include I, II, and III. The method further comprises administering at least one cardiovascular agent selected from the group consisting of thromboxane A2 biosynthesis inhibitors, thromboxane antagonists, ADP inhibitors, cyclooxygenase inhibitors, angiotensin antagonists, endothelin antagonists, phosphodiesterase inhibitors, angiotensin converting enzyme inhibitors, neutral endopeptidase inhibitors, anticoagulants, diuretics, platelet aggregation inhibitors; and GP IIb/IIIa antagonists.

IT 751475-53-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(thrombin receptor antagonists in combination with cardiovascular agents as prophylaxis to complications from cardiopulmonary surgery)

RN 751475-53-3 CAPLUS

CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[3-(1,1-dimethylethyl)-4-methoxy-5-(4-morpholinyl)phenyl]- (CA INDEX NAME)



L4 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2006:470154 CAPLUS Full-text
DOCUMENT NUMBER:	144:460841
TITLE:	Remedy for angiospasm accompanying subarachnoid hemorrhage containing thrombin receptor antagonist as the active ingredient
INVENTOR(S):	Hirano, Katsuya; Maeda, Yoshihisa; Sasaki, Tomio; Kanaide, Hideo; Kai, Yasutoshi
PATENT ASSIGNEE(S):	Eisai Co., Ltd., Japan; Kyushu University, National University Corporation
SOURCE:	PCT Int. Appl., 63 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006051648	A1	20060518	WO 2005-JP16568	20050902
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
WO 2006051623	A1	20060518	WO 2005-JP5068	20050315
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1813282	A1	20070801	EP 2005-782159	20050902
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2007084440	A	20070405	JP 2005-268246	20050915

PRIORITY APPLN. INFO.:	US 2004-626412P	P	20041109
	WO 2005-JP5068	A	20050315
	JP 2006-529356	A	20050315
	WO 2005-JP16568	W	20050902

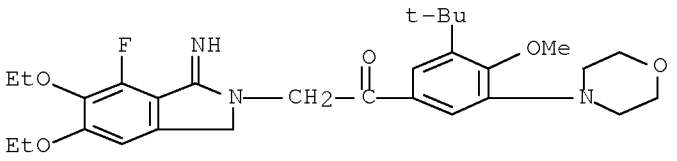
OTHER SOURCE(S): MARPAT 144:460841

AB A remedy for subarachnoid hemorrhage or a drug for improving prognosis of subarachnoid hemorrhage which contains a compd. having a PAR1 inhibitory effect, its pharmaceutically acceptable salt or a hydrate of the same.

IT 751475-53-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(2-iminopyrrolidine derivs. as thrombin receptor antagonists for treatment of angiospasm accompanying subarachnoid hemorrhage)

RN 751475-53-3 CAPLUS

CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[3-(1,1-dimethylethyl)-4-methoxy-5-(4-morpholinyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:469727 CAPLUS Full-text
DOCUMENT NUMBER: 144:445366
TITLE: Therapeutic agent for angiospasm caused by subarachnoid hemorrhage, containing thrombin receptor antagonist as active ingredient
INVENTOR(S): Hirano, Katsuya; Maeda, Yoshihisa; Sasaki, Tomio; Kanaide, Hideo; Kai, Yasutoshi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan; Kyushu University, National University Corporation
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006051623	A1	20060518	WO 2005-JP5068	20050315
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
WO 2006051648	A1	20060518	WO 2005-JP16568	20050902
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1813282	A1	20070801	EP 2005-782159	20050902
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				

JP 2007084440 A 20070405 JP 2005-268246 20050915
PRIORITY APPLN. INFO.: US 2004-626412P P 20041109
JP 2006-529356 A 20050315
WO 2005-JP5068 A 20050315
WO 2005-JP16568 W 20050902

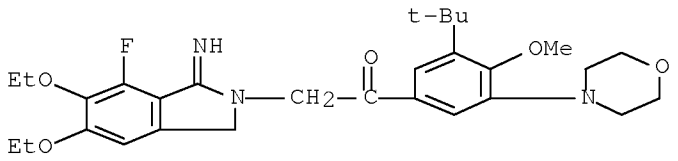
OTHER SOURCE(S): MARPAT 144:445366

AB A therapeutic agent for subarachnoid hemorrhage, or prognosis improving agent for subarachnoid hemorrhage, comprising a compd. having PAR1 inhibiting potency, or its pharmacol. acceptable salt, or a hydrate thereof.

IT 751475-53-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic agent for angiospasm caused by subarachnoid hemorrhage, contg. 2-iminopyrrolidine deriv. as thrombin receptor antagonist as active ingredient)

RN 751475-53-3 CAPLUS

CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[3-(1,1-dimethylethyl)-4-methoxy-5-(4-morpholinyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:230936 CAPLUS Full-text
DOCUMENT NUMBER: 144:311793
TITLE: Processes for producing fluorinated cyclic benzamidine derivative
INVENTOR(S): Shimomura, Naoyuki; Sasho, Manabu; Kayano, Akio; Yoshizawa, Kazuhiro; Tsujii, Masahiko; Kuroda, Hiroshi; Furukawa, Ken
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: U.S. Pat. Appl. Publ., 38 pp., Cont.-in-part of Appl. No. PCT/JP04/001396.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060058370	A1	20060316	US 2005-208289	20050818
US 7375236	B2	20080520		
WO 2004078721	A1	20040916	WO 2004-JP1396	20040210

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,

BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2003-40949 A 20030219
WO 2004-JP1396 A2 20040210

OTHER SOURCE(S): CASREACT 144:311793; MARPAT 144:311793

GI

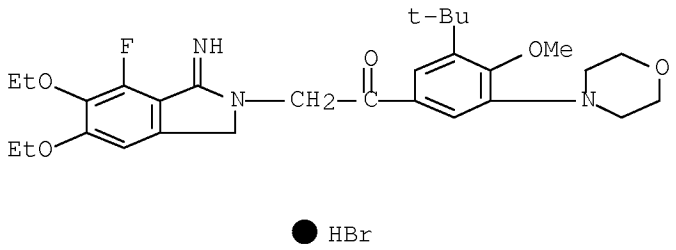
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A process for producing fluorinated cyclic benzamidine derivs. (I), (II) or salt thereof, characterized by comprising the step of reacting compd. (III) [X = leaving group] with ammonia or an imide; a process for producing a morpholine-substituted phenacyl deriv. (IV) or salt thereof, characterized by comprising reacting a specific compd. with morpholine, reacting the reaction product with a halogenating reagent, and subjecting the resultant reaction product to a reaction for ketal elimination; a process for producing a cyclic benzamidine deriv. (V) or salt thereof, characterized by coupling the compd. I, II or salt with the compd. IV or salt in the presence of an ether or hydrocarbon; and a method of recrystg. the cyclic benzamidine deriv. V or salt, characterized by dissolving the compd. V or salt in a mixed solvent comprising an alc. and water or in a mixed solvent comprising an ether and water and adding water to the soln. to ppt. crystals of the compd. V or salt was disclosed. For example, to a soln. of compd. IV (550 g) in THF (3 L) was added a soln. of compd. I (300 g) in THF (4.5 L) portionwise at 6 .degree.C . Addnl. stirring for 18 h followed by crystn. with 50% THF/water (5 L) afforded compd. V.cntdot.HBr (622.1 g).

IT 474550-69-1P
RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(crystal structure; prepn. and crystal structure of fluorinated cyclic benzamidine deriv.)

RN 474550-69-1 CAPLUS

CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[3-(1,1-dimethylethyl)-4-methoxy-5-(4-morpholinyl)phenyl]-, hydrobromide (1:1) (CA INDEX NAME)

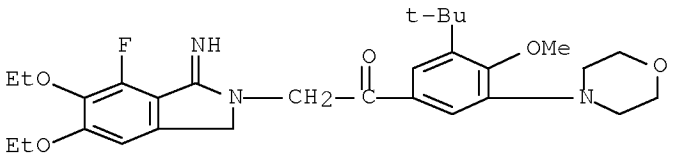


IT 751475-53-3P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and crystal structure of fluorinated cyclic benzamidine deriv.)

RN 751475-53-3 CAPLUS

CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-

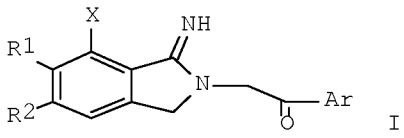
[3-(1,1-dimethylethyl)-4-methoxy-5-(4-morpholinyl)phenyl]- (CA INDEX NAME)



L4 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1004569 CAPLUS Full-text
DOCUMENT NUMBER: 143:292577
TITLE: Composition containing benzamidine derivative and method for stabilizing benzamidine derivative
INVENTOR(S): Suzuki, Yasuyuki; Fujioka, Satoshi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005084679	A1	20050915	WO 2005-JP3742	20050304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005219090	A1	20050915	AU 2005-219090	20050304
AU 2005219090	B2	20080110		
CA 2558191	A1	20050915	CA 2005-2558191	20050304
EP 1721610	A1	20061115	EP 2005-720014	20050304
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1925861	A	20070307	CN 2005-80006933	20050304
US 20070208016	A1	20070906	US 2006-590976	20060828
KR 760448	B1	20071004	KR 2006-717795	20060901

PRIORITY APPLN. INFO.: JP 2004-61472 A 20040304
WO 2005-JP3742 W 20050304
OTHER SOURCE(S): MARPAT 143:292577
GI



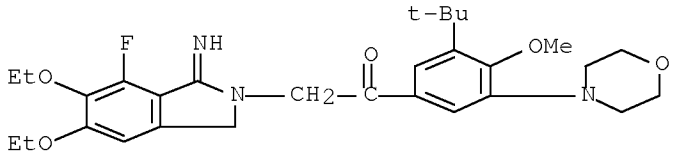
I

AB Disclosed is a compn. contg. a benzamidine deriv. which is not decompd. even under humidified conditions. Also disclosed is a method for stabilizing a benzamidine deriv. Decompn. reaction of benzamidine derivs. can be suppressed by adding at least one electrolyte selected from the group consisting of halide salts of alkali metals or alk. earth metals and perchlorates of alkali metals or alk. earth metals to a benzamidine deriv. represented by the general formula I (R1, R2 = H, methoxy, ethoxy; X = H, halogen; Ar = Me, Et, methoxy, ethoxy, tert-Bu, morpholinyl, etc), or a pharmacol. acceptable salt thereof. For example, tablets were prepd. from 1-(3-tert-butyl-4-methoxy-5-morpholino-phenyl)-2-(5,6-diethoxy-7-fluoro-1- imino-1,3-dihydro-isoindol-2-yl)-ethanone 1, lactose 117, hydroxypropyl cellulose 7.5, hydroxypropyl Me cellulose 4.5, NaCl 4.5, cryst. cellulose 15, and magnesium stearate 0.75 g.

IT 751475-53-3
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. contg. benzamidine derivs. and electrolytes, and method for stabilizing benzamidine deriv.)

RN 751475-53-3 CAPLUS

CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[3-(1,1-dimethylethyl)-4-methoxy-5-(4-morpholinyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1003563 CAPLUS Full-text
DOCUMENT NUMBER: 143:312129
TITLE: Method for preparation of material for drug compatibility test, and test kit having the material
INVENTOR(S): Watanabe, Reiko; Suzuki, Yasuyuki
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005249570	A	20050915	JP 2004-60204	20040304

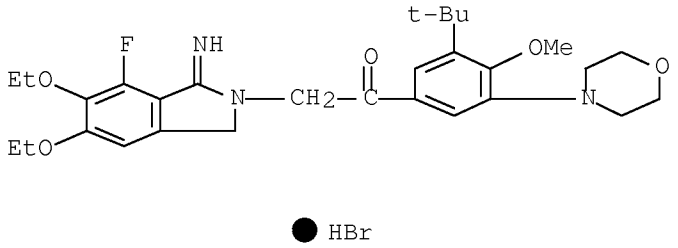
PRIORITY APPLN. INFO.: JP 2004-60204 20040304

AB The invention relates to a method for prepn. of a material for efficient test for examg. compatibility of a drug with other drugs, excipients, and packaging materials, etc., wherein the method include forming a soln./dispersion of each substance, applying the soln./dispersion to a container, e.g. a microplate, and freeze-dried the container. For example, solns./water dispersions of D-mannitol, lactose, anhyd. calcium phosphate, cryst. cellulose, corn starch, hydroxypropyl cellulose, povidone, low-substituted hydroxypropyl cellulose, cross-povidone, croscarmellose sodium were prepd., and applied to a 96 well microplate with various combinations. The microplate was then freeze-dried to obtain a drug compatibility test kit. A soln. of a test substance contg. 1-(3-tert-butyl-4-methoxy-5-morpholinophenyl)-2-(5,6-diethoxy-7-fluoro-1- imino-1,3-dihydro-2H-isoindol-2-yl)ethanone hydrobromide was applied to each wells of the microplate and freeze-dried for further process for HPLC anal.

IT 474550-69-1
RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(method for prepn. of material for drug compatibility test, and test kit having the material)

RN 474550-69-1 CAPLUS

CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[3-(1,1-dimethylethyl)-4-methoxy-5-(4-morpholinyl)phenyl]-, hydrobromide (1:1) (CA INDEX NAME)



L4 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:756689 CAPLUS Full-text

DOCUMENT NUMBER: 141:260409

TITLE: Processes for producing fluorinated cyclic benzamidine derivative

INVENTOR(S): Shimomura, Naoyuki; Sasho, Manabu; Kayano, Akio; Yoshizawa, Kazuhiro; Tsujii, Masahiko; Kuroda, Hiroshi; Furukawa, Ken

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2004078721 A1 20040916 WO 2004-JP1396 20040210
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2004218187 A1 20040916 AU 2004-218187 20040210
CA 2515715 A1 20040916 CA 2004-2515715 20040210
EP 1602646 A1 20051207 EP 2004-709710 20040210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
CN 1777583 A 20060524 CN 2004-80010500 20040210
US 20060058370 A1 20060316 US 2005-208289 20050818
US 7375236 B2 20080520
IN 2007CN02019 A 20080404 IN 2007-CN2019 20070511
PRIORITY APPLN. INFO.: JP 2003-40949 A 20030219
IN 2005-CN2311 A3 20040210
WO 2004-JP1396 A 20040210
OTHER SOURCE(S): MARPAT 141:260409
GI

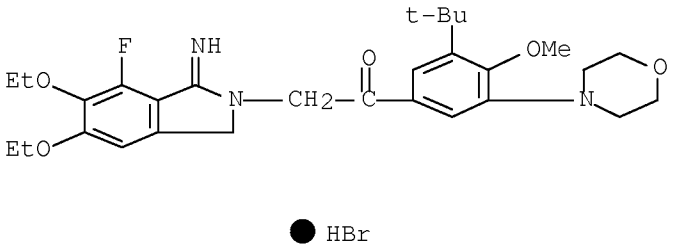
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A process for producing fluorinated cyclic benzamidine derivs. I, II or salt thereof, characterized by comprising the step of reacting compd. III [X = leaving group] with ammonia or an imide; a process for producing a morpholine-substituted phenacyl deriv. IV or salt thereof, characterized by comprising reacting a specific compd. with morpholine, reacting the reaction product with a halogenating reagent, and subjecting the resultant reaction product to a reaction for ketal elimination; a process for producing a cyclic benzamidine deriv. V or salt thereof, characterized by coupling the compd. I, II or salt with the compd. IV or salt in the presence of an ether or hydrocarbon; and a method of recrystg. the cyclic benzamidine deriv. V or salt, characterized by dissolving the compd. V or salt in a mixed solvent comprising an alc. and water or in a mixed solvent comprising an ether and water and adding water to the soln. to ppt. crystals of the compd. V or salt was disclosed. For example, to a soln. of compd. IV (550 g) in THF (3 L) was added a soln. of compd. I (300 g) in THF (4.5 L) portionwise at 6 .degree.C . Addnl. stirring for 18 h followed by crystn. with 50% THF/water (5 L) afforded compd. V.cntdot.HBr (622.1 g).

IT 474550-69-1P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (crystal structure; prepn. and crystal structure of fluorinated cyclic benzamidine deriv.)

RN 474550-69-1 CAPLUS

CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[3-(1,1-dimethylethyl)-4-methoxy-5-(4-morpholinyl)phenyl]-, hydrobromide (1:1) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:832759 CAPLUS Full-text
DOCUMENT NUMBER: 137:353062
TITLE: Preparation of 2-iminopyrrolidine derivatives as thrombin receptor antagonists
INVENTOR(S): Suzuki, Shuichi; Kotake, Makoto; Miyamoto, Mitsuaki; Kawahara, Tetsuya; Kajiwara, Akiharu; Hishinuma, Ieharu; Okano, Kazuo; Miyazawa, Syuhei; Clark, Richard; Ozaki, Fumihiro; Sato, Nobuaki; Shinoda, Masanobu; Kamada, Atsushi; Tsukada, Itaru; Matsuura, Fumiyoshi; Naoe, Yoshimitsu; Terauchi, Taro; Oohashi, Yoshiaki; Ito, Osamu; Tanaka, Hiroshi; Musya, Takashi; Kogushi, Motoji; Kawada, Tsutomu; Matsuoka, Toshiyuki; Kobayashi, Hiroko; Chiba, Kenichi; Kimura, Akifumi; Ono, Naoto
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 948 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085855	A1	20021031	WO 2002-JP3961	20020419
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2446924	A1	20021031	CA 2002-2446924	20020419
AU 2002255269	A1	20021105	AU 2002-255269	20020419
AU 2002255269	B2	20070315		
EP 1391451	A1	20040225	EP 2002-724628	20020419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002008985	A	20040309	BR 2002-8985	20020419
CN 1503784	A	20040609	CN 2002-808565	20020419
HU 2004000467	A2	20050228	HU 2004-467	20020419

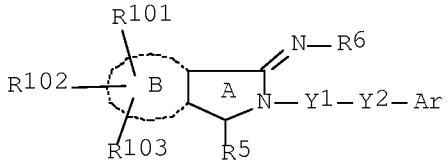
EP 1614680	A2	20060111	EP 2005-22069	20020419
EP 1614680	A3	20060201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
CN 1733725	A	20060215	CN 2005-10080404	20020419
RU 2270192	C2	20060220	RU 2003-133664	20020419
CN 1754880	A	20060405	CN 2005-10080403	20020419
JP 3795458	B2	20060712	JP 2002-583382	20020419
NZ 528820	A	20070126	NZ 2002-528820	20020419
NO 2003004632	A	20031219	NO 2003-4632	20031016
MX 2003PA09497	A	20040524	MX 2003-PA9497	20031016
ZA 2003008064	A	20050207	ZA 2003-8064	20031016
KR 749794	B1	20070817	KR 2003-713674	20031018
IN 2003DN01719	A	20051014	IN 2003-DN1719	20031020
US 20050004204	A1	20050106	US 2004-475188	20040609
US 7244730	B2	20070717		
AU 2005202135	A1	20050609	AU 2005-202135	20050517
AU 2005202135	B2	20071115		
KR 749795	B1	20070817	KR 2005-709505	20050526
US 20050245592	A1	20051103	US 2005-158941	20050622
JP 2006206595	A	20060810	JP 2006-41270	20060217
JP 2006225393	A	20060831	JP 2006-41255	20060217

PRIORITY APPLN. INFO.:

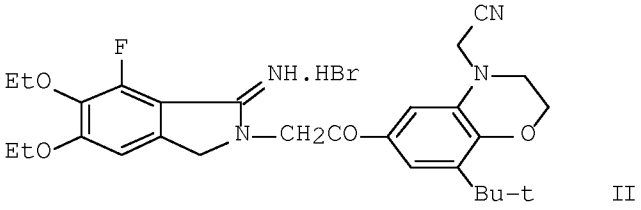
JP 2001-121829	A	20010419
JP 2001-269422	A	20010905
AU 2002-255269	A3	20020419
CN 2002-808565	A3	20020419
EP 2002-724628	A3	20020419
JP 2002-583382	A3	20020419
WO 2002-JP3961	W	20020419
KR 2003-713674	A3	20031018
US 2004-475188	A1	20040609

OTHER SOURCE(S): MARPAT 137:353062

GI



I



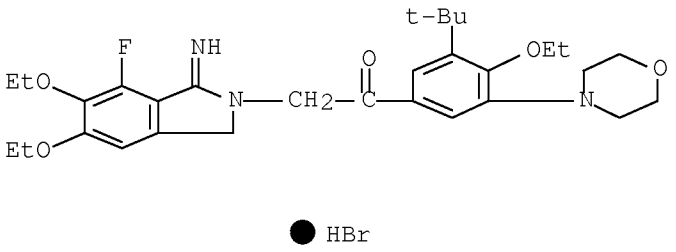
II

AB 2-Iminopyrrolidine derivs. including 2,3-dihydro-1H-isoindole and 6,7-dihydro-5H-pyrrolo[3,4-b]pyridine represented by the general formula (I) or salts thereof [wherein B = (un)substituted arom. hydrocarbon or arom. heterocyclic ring optionally contg. 1 or 2 N atom(s); R101, R102, R103 = H, cyano, halo,

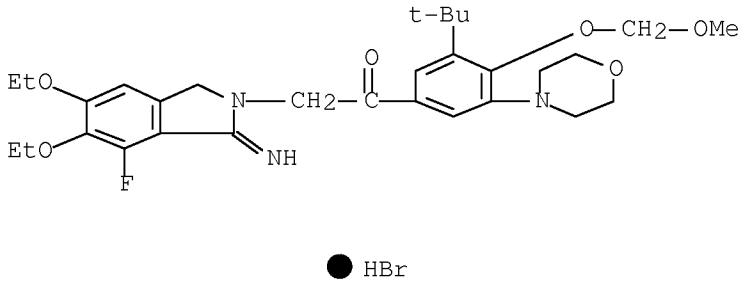
each (un)substituted C1-6 alkyl, C2-8 alkenyl, C2-8 alkynyl, acyl, CO2H, CONH2, C1-6 alkoxycarbonyl, C1-6 alkylaminocarbonyl, HO, C1-6 alkoxy, C3-8 cycloalkyloxy, NH2, C1-6 alkylamino, C3-8 cycloalkylamino, acylamino, ureido, sulfonylamino, sulfonyl, SO2NH2, or C3-8 cycloalkyl, etc.; Y1 = a single bond, (CH2)m, each (un)substituted CH, CH2, NH, CONH, or SO2NH, CH2CO, SO, SO2, CO (wherein m = an integer of 1-3); Y2 = a single bond, O, N, (CH2)m, each (un)substituted CH, CH2, or C(:NOH), CO, SO, SO2; Ar = H, (un)substituted Ph] are prepd. These compds. are thrombin receptor antagonists, in particular thrombin PAR1 receptor antagonists and are useful as blood platelet aggregation inhibitors and proliferation inhibitors of smooth muscle cell, endothelial cell, fibroblast, kidney cell, osteosarcoma cell, muscle cell, cancer cell, and/or glial cell and for the treatment and/or prevention of thrombosis, vascular restenosis, deep vein thrombosis, lung embolism, cerebral infarction, heart disease, disseminated intravascular coagulation syndrome, hypertension, inflammation, rheumatism, asthma, glomerulonephritis, osteoporosis, nerve disease, and/or malignant tumor. Thus, [6-[(1-imino-1,3-dihydroisoindol-2-yl)acetyl]-2,3- dihydrobenz[1,4]oxazin-4-yl]acetonitrile deriv. (II) in vitro showed IC50 of 0.017 .mu.M for inhibiting the binding of [3H]Ala-(4-fluoro)Phe-Arg- (cyclohexyl)Ala-homoArg-Tyr-NH2 to thrombin receptor of human blood platelet, that of 0.29 .mu.M for inhibiting the human blood platelet aggregation induced by thrombin, and that of 0.0061 .mu.M for inhibiting the proliferation of rat smooth cell.

IT 474543-84-5P 474544-04-2P 474544-11-1P
474544-14-4P 474544-83-7P 474550-69-1P
474550-70-4P 474553-86-1P 474631-43-1P
474632-18-3P 474633-46-0P 474639-14-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of dihydroisoindole and dihydro-5H-pyrrolo[3,4-b]pyridine derivs. as thrombin receptor antagonists and remedies and/or preventives for diseases)

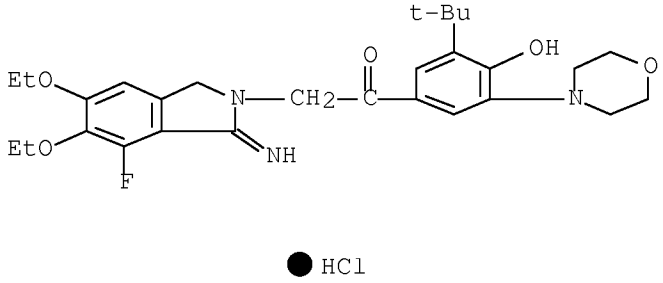
RN 474543-84-5 CAPLUS
CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[3-(1,1-dimethylethyl)-4-ethoxy-5-(4-morpholinyl)phenyl]-, hydrobromide (1:1) (CA INDEX NAME)



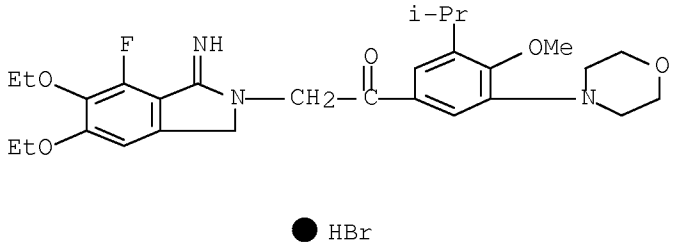
RN 474544-04-2 CAPLUS
CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[3-(1,1-dimethylethyl)-4-(methoxymethoxy)-5-(4-morpholinyl)phenyl]-, hydrobromide (1:1) (CA INDEX NAME)



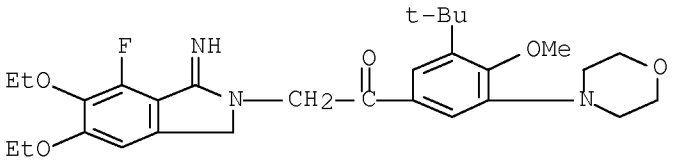
RN 474544-11-1 CAPLUS
CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[3-(1,1-dimethylethyl)-4-hydroxy-5-(4-morpholinyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



RN 474544-14-4 CAPLUS
CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[4-methoxy-3-(1-methylethyl)-5-(4-morpholinyl)phenyl]-, hydrobromide (1:1) (CA INDEX NAME)

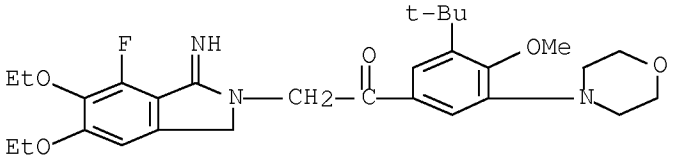


RN 474544-83-7 CAPLUS
CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[3-(1,1-dimethylethyl)-4-methoxy-5-(4-morpholinyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



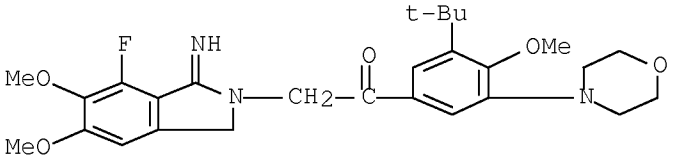
● HCl

RN 474550-69-1 CAPLUS
CN Ethanone, 2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)-1-[3-(1,1-dimethylethyl)-4-methoxy-5-(4-morpholinyl)phenyl]-, hydrobromide (1:1) (CA INDEX NAME)



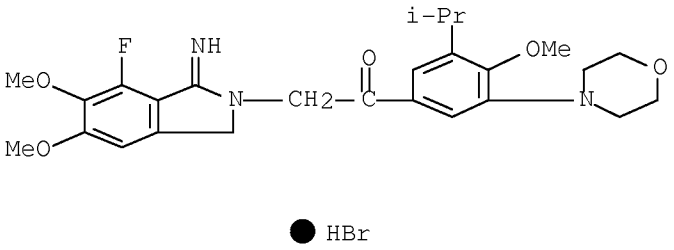
● HBr

RN 474550-70-4 CAPLUS
CN Ethanone, 1-[3-(1,1-dimethylethyl)-4-methoxy-5-(4-morpholinyl)phenyl]-2-(7-fluoro-1,3-dihydro-1-imino-5,6-dimethoxy-2H-isoindol-2-yl)-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

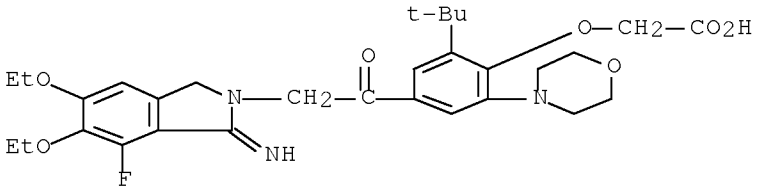
RN 474553-86-1 CAPLUS
CN Ethanone, 2-(7-fluoro-1,3-dihydro-1-imino-5,6-dimethoxy-2H-isoindol-2-yl)-1-[4-methoxy-3-(1-methylethyl)-5-(4-morpholinyl)phenyl]-, hydrobromide (1:1) (CA INDEX NAME)



RN 474631-43-1 CAPLUS
CN Acetic acid, 2-[4-[2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)acetyl]-2-(1,1-dimethylethyl)-6-(4-morpholinyl)phenoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

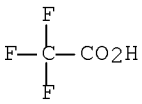
CM 1

CRN 474631-42-0
CMF C30 H38 F N3 O7

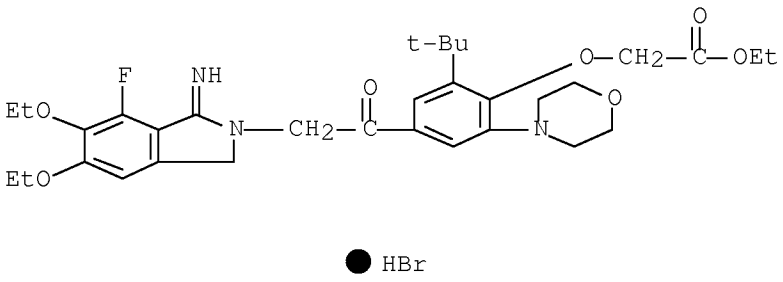


CM 2

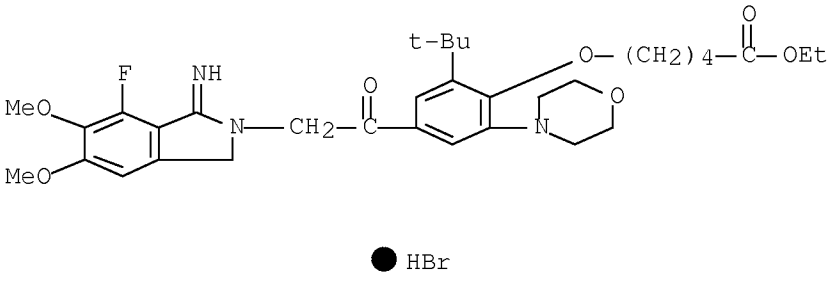
CRN 76-05-1
CMF C2 H F3 O2



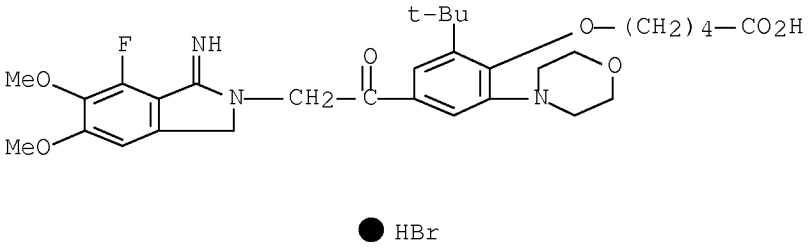
RN 474632-18-3 CAPLUS
CN Acetic acid, 2-[4-[2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)acetyl]-2-(1,1-dimethylethyl)-6-(4-morpholinyl)phenoxy]-, ethyl ester, hydrobromide (1:1) (CA INDEX NAME)



RN 474633-46-0 CAPLUS
CN Pentanoic acid, 5-[2-(1,1-dimethylethyl)-4-[2-(7-fluoro-1,3-dihydro-1-imino-5,6-dimethoxy-2H-isoindol-2-yl)acetyl]-6-(4-morpholinyl)phenoxy]-, ethyl ester, hydrobromide (1:1) (CA INDEX NAME)



RN 474639-14-0 CAPLUS
CN Pentanoic acid, 5-[2-(1,1-dimethylethyl)-4-[2-(7-fluoro-1,3-dihydro-1-imino-5,6-dimethoxy-2H-isoindol-2-yl)acetyl]-6-(4-morpholinyl)phenoxy]-, hydrobromide (1:1) (CA INDEX NAME)



REFERENCE COUNT: 100 THERE ARE 100 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	80.62	259.19

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-11.20	-11.20

STN INTERNATIONAL LOGOFF AT 06:57:34 ON 14 JUN 2008